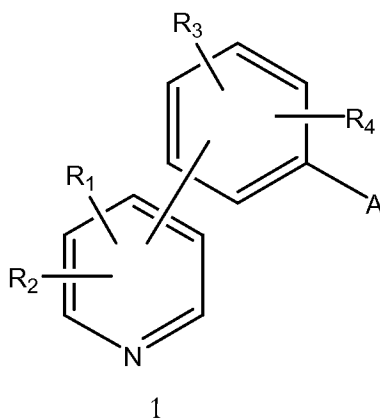


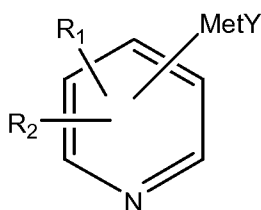
LISTING OF THE CLAIMS

1. (Currently Amended) A method for the preparation of compound[[s]] of formula 1,

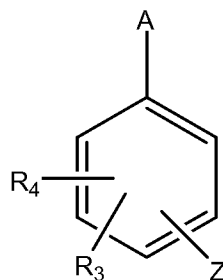


in which a solution containing a compound of formula 2 is added dropwise to a solution containing a compound of formula 3

2



3



in which:

- Met represents Mg or Zn,
- Y represents Cl, Br, I or acetoxy,
- Z represents I, Br, Cl, triflate, sulphonate, phosphate,
- R₁, R₂, R₃, R₄, which are the same as one another or different, represent hydrogen, a linear and/or branched C₁-C₄ alkyl, and/or an aryl, and/or a heteroaryl, or R₁ and R₂ and/or R₃ and R₄, taken together, form a C₃-C₈ ring, an aryl and/or a heteroaryl,

- A represents $-\text{COR}_5$, where R_5 represents hydrogen, a linear and/or branched $\text{C}_1\text{-C}_4$ alkyl, and/or an aryl, and/or a heteroaryl, or
- A represents $-\text{CR}_5(\text{OR}_6)(\text{OR}_7)$ where R_5 has the meaning described above and R_6 and R_7 , which are the same as one another or different, represent a linear and/or branched $\text{C}_1\text{-C}_4$ alkyl, and/or an aryl, and/or a heteroaryl, or R_6 and R_7 , joined together, represent a $\text{C}_1\text{-C}_8$ alkyl or alkenyl, in the presence of catalytic systems based on palladium or nickel.

2. (Currently Amended) A method according to Claim 1, ~~characterized in that~~ wherein compound 2 is prepared by reaction of the corresponding halogeno-pyridine with a catalytic quantity of alkyl halide, in the presence of an at least stoichiometric quantity of magnesium.

3. (Currently Amended) A method according to Claim 2, ~~characterized in that~~ wherein 100 moles of the halogeno-pyridine are reacted with 10-20 moles of alkyl halide and 100-120 moles of magnesium.

4. (Currently Amended) A method according to Claim 2, ~~characterized in that~~ wherein the alkyl halide is a $\text{C}_1\text{-C}_8$ alkyl chloride or bromide.

5. (Currently Amended) A method according to Claim 4, ~~characterized in that~~ wherein the alkyl halide is ethyl bromide or isopropyl bromide or chloride.

6. (Currently Amended) A method according to Claim 1, ~~characterized in that~~ wherein compound 2 is prepared by reaction of the corresponding halogeno-pyridine with an at least stoichiometric quantity of an alkyl-magnesium halide.

7. (Currently Amended) A method according to Claim 6, ~~characterized in that~~ wherein the alkyl-magnesium halide is a chloride or a bromide of a $\text{C}_1\text{-C}_8$ alkyl-magnesium salt, preferably an ethyl or isopropyl magnesium salt.

8. (Currently Amended) A method according to Claim 1, ~~characterized in that~~ wherein the palladium and/or the nickel are used in quantities of 0.01-10 moles, preferably 0.05-2 moles, per 100 moles of compound 2.

9. (Currently Amended) A method according to Claim 1, ~~characterized in that~~ wherein the solvent is an ethereal solvent, preferably THF, 1,2 dimethoxyethane, and/or 1,1-diethoxymethane, or a THF/toluene mixture.

10. (Currently Amended) A method according to Claim 1, ~~characterized in that~~ wherein it is performed at a temperature of between 20 and 100°C, preferably between 40 and 80°C.

11. (Currently Amended) A method according to Claim 1, ~~characterized in that~~ wherein it is performed in the presence of phosphines and/or phosphites.

12. (Currently Amended) A method according to Claim 11, ~~characterized in that~~ wherein the phosphines and/or phosphites are used in a molar ratio of metal:phosphine/phosphite of between 1:1 and 1:6.

13. (Currently Amended) A method according to Claim 11, ~~characterized in that~~ wherein the phosphines are selected from triaryl phosphines, diarylalkyl phosphines, trialkyl phosphines, and bidentate phosphines.

14. (Currently Amended) A method according to Claim 11, ~~characterized in that~~ wherein palladium is used in the form of complexes with phosphines, preferably as Pd(PPh₃)₄.

15. (Currently Amended) A method according to Claim 11, ~~characterized in that~~ wherein palladium is used in the salt form, generally in acetate or chloride form, in combination with a phosphine, preferably triphenyl phosphine.

16. (Currently Amended) A method according to Claim 11, ~~characterized in that~~ wherein nickel is used in the form of complexes with phosphines, preferably bidentate phosphines.

17. (Currently Amended) A method according to Claim 1, ~~characterized in that~~ wherein it is performed in the presence of zinc salts, preferably ZnCl_2 , ZnBr_2 or $\text{Zn}(\text{OAc})_2$.

18. (Currently Amended) A method according to Claim 17, ~~characterized in that~~ wherein the zinc salt is used in quantities of 25-120 moles, preferably 35-70 moles, per 100 moles of compound 2.

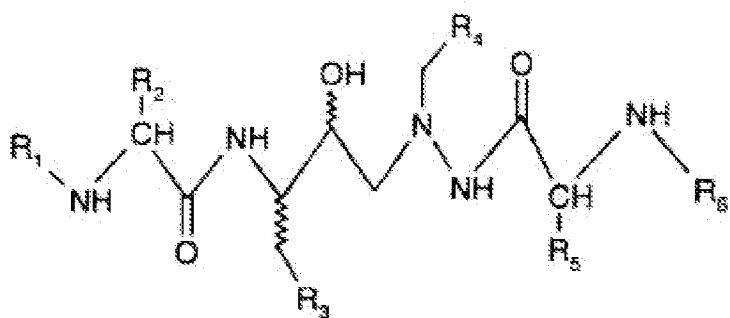
19. (Currently Amended) A method according to Claim 18 in which Met is magnesium, ~~characterized in that~~ wherein 0.01-0.1 moles of palladium and 40-70 moles of zinc are used per 100 moles of compound 2.

20. (Currently Amended) A method according to Claim 17, ~~characterized in that~~ wherein the molar ratio between palladium and compound 2 is less than 1:100.

21. (Currently Amended) A method according to Claim 1, ~~characterized in that~~ wherein compound 2 is used in a dynamic deficiency relative to the zinc salt.

22. (Currently Amended) A method according to Claim 1, ~~characterized in that~~ wherein 0.5-1.2 moles, preferably 1 mole, of compound 2 is used per 1 mole of compound 3.

23. (Currently Amended) A method for the preparation of heterocyclic azahexane derivatives with antiviral action of formula 1, ~~characterized in that it comprises a method according to claim 1~~



1

wherein in formula 1

R₁ is a lower alkoxy carbonyl,

R₂ is a secondary or tertiary lower alkyl or lower alkylthio-lower alkyl,

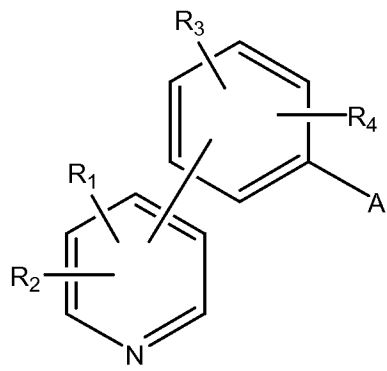
R₃ is phenyl that is unsubstituted or substituted by one or more lower alkoxy radicals, or C4-C8 cycloalkyl,

R₄ is a phenyl or cyclohexyl each substituted in the 4-position by unsaturated heterocyclyl that is bonded by way of a ring carbon atom, has from 5 to 8 ring atoms, contains from 1 to 4 heteroatoms selected from nitrogen, oxygen, sulfur, sulfinyl and sulfonyl and is unsubstituted or substituted by lower alkyl or phenyl-lower alkyl,

R₅, independently of R₂, has one of the meanings mentioned for R₂, and

R₆, independently of R₁, is lower alkoxy carbonyl,

comprising preparing an intermediary of formula 2

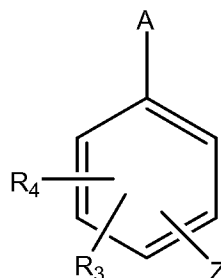
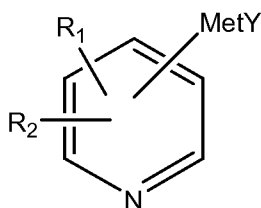


2

by a dropwise addition of a solution containing a compound of formula 3 to a solution containing a compound of formula 4

3

4



in which in formulas 2, 3, and 4:

Met represents Mg or Zn;

Y represents Cl, Br, I or acetoxy;

Z represents I, Br, Cl, triflate, sulphonate, phosphate;

R₁, R₂, R₃, R₄, which are the same as one another or different, represent hydrogen, a linear and/or branched C₁-C₄ alkyl, and/or an aryl, and/or a heteroaryl, or R₁ and R₂ and/or R₃ and R₄, taken together, form a C₃-C₈ ring, an aryl and/or a heteroaryl;

A represents -COR₅, where R₅ represents hydrogen, a linear and/or branched C₁-C₄ alkyl, and/or an aryl, and/or a heteroaryl, or

A represents -CR₅(OR₆)(OR₇) where R₅ has the meaning described above and R₆ and R₇, which are the same as one another or different, represent a linear and/or branched C₁-C₄ alkyl, and/or an aryl, and/or a heteroaryl, or R₆ and R₇, joined together, represent a C₁-C₈ alkyl or alkenyl, in the presence of catalytic systems based on palladium or nickel.

24. (New) The method of claim 23 wherein the heterocyclic azahehexane derivative is BMS-232632.